

CAS ONLINE PRINTOUT

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(FILE 'HOME' ENTERED AT 09:16:59 ON 28 MAR 2007)

FILE 'REGISTRY' ENTERED AT 09:17:11 ON 28 MAR 2007

E SERTRALINE (L) MANDELATE

E SERTRALINE (L) MANDELATE/CN

L1 1 S E9

FILE 'CAPLUS' ENTERED AT 09:18:10 ON 28 MAR 2007

L2 18 S L1

L3 1041 S FORM V

L4 1 S L3 AND L2

=> d bib abs 1-18 12 kwic

L2 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2007:258084 CAPLUS

TI Sertraline acid addition salt, its preparation and its use in the preparation of sertraline hydrochloride Form II

IN Kintali, Ramana Venkata; Ludescher, Johannes; Nair, Raji; Sawant, Sudhir

PA India

SO U.S. Pat. Appl. Publ., 4pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2007054960	A1	20070308	US 2006-516395	20060906
PRAI	GB 2005-18135	A	20050906		

AB The present invention relates to novel acid addition salts of sertraline, their preparation and their use in the preparation of crystalline Form-II of Sertraline hydrochloride.

IT 56-86-0, Glutamic acid 69-72-7, Salicylic acid 88-99-3, Phthalic acid 99-04-7, 3-Methyl benzoic acid 124-04-9, Adipic acid 611-71-2, R-Mandelic acid 7647-01-0, Hydrochloric acid 79617-96-2, Sertraline 254731-40-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(sertraline acid addition salt, its preparation and its use in the preparation of sertraline hydrochloride Form II)

L2 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2007:53929 CAPLUS

DN 146:162927

TI Process for the preparation of polymorphic crystalline sertraline hydrochloride form I and pharmaceutical dosage forms containing it

IN Borochovitz, Ronen; Shabat, Shalom

PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals Usa, Inc.

SO PCT Int. Appl., 14pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007008317	A2	20070118	WO 2006-US22500	20060609
	WO 2007008317	A3	20070308		

CAS ONLINE PRINTOUT

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD,
SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,
VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

PRAI US 2005-68977P P 20050609

AB A process for the preparation of sertraline HCl form I comprises: (A) heating sertraline HCl in n-butanol to about 400° to about reflux temperature; and (B) crystallizing the sertraline HCl.

IT 254731-40-3, Sertraline mandelate

RL: RCT (Reactant); RACT (Reactant or reagent)

(process for the preparation of polymorphic crystalline sertraline hydrochloride form I and pharmaceutical dosage forms containing it)

L2 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:1070265 CAPLUS

DN 145:397248

TI Neutralization process for preparing the crystal polymorphic form II of sertraline hydrochloride

IN Ludescher, Johannes; Wieser, Josef

PA Austria

SO U.S. Pat. Appl. Publ., 4pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2006229472	A1	20061012	US 2006-396967	20060403
PRAI	GB 2005-7090	A	20050407		

OS CASREACT 145:397248

AB The crystalline polymorphic form II of sertraline hydrochloride is prepared by: (a) providing a solution or suspension of sertraline base or one of its salts (e.g., sertraline mandelate) in a solvent (e.g., acetonitrile); (b) adding a hydrochloride of an organic amide (e.g., dimethylacetamide hydrochloride) at 0-120°; and (c) isolating the crystalline solid polymorphic form II of sertraline hydrochloride.

IT 920-54-7, Dimethylacetamide hydrochloride 16889-93-3,
N-Methylpyrrolidone hydrochloride 79617-96-2, Sertraline
254731-40-3, Sertraline mandelate

RL: RCT (Reactant); RACT (Reactant or reagent)

(neutralization process for preparing the crystal polymorphic form II of sertraline hydrochloride)

L2 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:904920 CAPLUS

DN 145:292733

TI Method for preparation of the crystalline polymorphic form II of sertraline hydrochloride

IN Reguri, Buchi Reddy; Kadaboina, Rajasekhar

PA Dr. Reddy's Lab. Ltd., India

SO Indian, 21 pp.

CODEN: INXXAP

CAS ONLINE PRINTOUT

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	IN 192257	A1	20040327	IN 2000-MA765	20000915
PRAI	IN 2000-MA765		20000915		

AB A method for the preparation of polymorphic crystalline form II of sertraline hydrochloride from any salt of Sertraline or from sertraline base comprises: (a) suspending the sertraline salt (mandelate or hydrochloride) in n-butanol, hexane, heptane, octane, nonane, cyclohexane, or their a mixts.; (b) adding an aqueous alkali solution to the suspension to obtain sertraline free base; (c) separating the aqueous layer from the biphasic mixture; (d) optionally extracting the free base from the aqueous layer of step (c) by using a solvent as defined in step (a) and mixing with the organic layer of step (c); (e) optionally diluting the organic layer of step (d) with n-butanol or hexane, heptane, octane, nonane, or cyclohexane; (f) acidifying the organic layer of step (e) with concentrate hydrochloric acid or gaseous hydrogen chloride or butanolic hydrogen chloride at 0-200° to obtain a sertraline hydrochloride suspension; (g) stirring the said suspension of step (f) at 0-300° for 10-36 h to obtain form II of sertraline hydrochloride in suspended form; and (h) filtering, washing, and drying the product.

IT 7647-01-0, Hydrogen chloride, reactions 254731-40-3, Sertraline mandelate
RL: RCT (Reactant); RACT (Reactant or reagent)
(in a method for preparation of the crystalline polymorphic form II of sertraline hydrochloride)

L2 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:581961 CAPLUS

DN 143:59684

TI X-ray diffraction of a polymorph of sertraline hydrochloride

IN Antczak, Casimir G.; Wilson, Andrew Joseph

PA Torcan Chemical Ltd., Can.

SO Can. Pat. Appl., 17 pp.

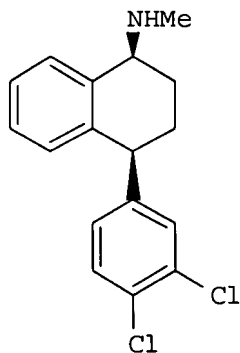
CODEN: CPXXEB

DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2224387	A1	19990610	CA 1997-2224387	19971210
	CA 2224387	C	20010529		
PRAI	CA 1997-2224387		19971210		

GI



I

AB A novel polymorph of sertraline hydrochloride, i.e. (1S-cis)-4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-N-methyl-1-naphthalenamine hydrochloride (I·HCl), is disclosed, having improved water solubility along with acceptable stability. It is characterized by a unique x-ray diffraction pattern and unit cell structure, as well as IR and NMR spectral characteristics.

IT 254731-40-3, Sertraline mandelate
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (acid addition salt conversion; x-ray diffraction of a polymorph of sertraline hydrochloride)

L2 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:474956 CAPLUS

DN 142:487606

TI Polymorphic forms of sertraline hydrochloride

IN Van Der Schaaf, Paul Adriaan; Schwarzenbach, Franz; Kirner, Hans-Jorg; Szelagiewicz, Martin; Marcolli, Claudia; Burkhard, Andreas

PA Switz.

SO U.S. Pat. Appl. Publ., 8 pp., Cont.-in-part of U.S. Ser. No. 736,195.
 CODEN: USXXCO

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005119351	A1	20050602	US 2004-945786	20040921
	WO 2001032601	A1	20010510	WO 2000-EP10416	20001023
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	US 6872853	B1	20050329	US 2002-111947	20020426
	US 2004132828	A1	20040708	US 2003-736195	20031215
	US 6939992	B2	20050906		
PRAI	EP 1999-810981	A	19991029		
	WO 2000-EP10416	W	20001023		
	US 2002-111947	A1	20020426		
	US 2003-736195	A2	20031215		
OS	MARPAT 142:487606				

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AB An improved process for the preparation of sertraline hydrochloride polymorphic form II is described, which process comprises seeding a solution of sertraline free amine in a ketone with some crystals of polymorphic form II and addition of hydrogen chloride, and wherein the solution is heated before addition of the hydrogen chloride. According to the present process, the metastable form II may be obtained in a reliable way with good yield and high purity with respect to other polymorphic forms as well as preparative residues such as educts or solvents.

IT 254731-40-3, Sertraline mandelate
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); PROC (Process); RACT (Reactant or reagent); USES (Uses)
(polymorphic forms of sertraline hydrochloride)

L2 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:625605 CAPLUS

DN 143:77965

TI Process for preparing sertraline

IN Stohandl, Jiri; Frantisek, Jaroslav; Zapadlo, Zdenek; Stohandlova, Marta

PA Ratiochem, S. R. O., Czech Rep.

SO Czech Rep., 10 pp.

CODEN: CZXXED

DT Patent

LA Czech

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CZ 292770	B6	20031217	CZ 2001-708	20010226
	BG 108940	A	20060228	BG 2004-108940	20041118
PRAI	CZ 2001-708	A	20010226		
OS	CASREACT 143:77965				

AB In the present invention, a process for preparing sertraline, a known antidepressant (no data), by reductive amination of a racemic 4-(3,4-dichlorophenyl)-3,4-dihydro-1(2H)naphthalenone, is disclosed. The preparation process is carried out in a single reaction vessel without isolation of intermediates. Pure cis- and trans-isomers of sertraline are separated. Disclosed is also a conversion process of trans-isomer to cis-isomer, as well as preparation process of (1S)-cis-isomer polymorph.

IT 79617-89-3P 79617-95-1P 79617-96-2P, Sertraline 79617-99-5P
254731-40-3P, Sertraline mandelate

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(process for preparing sertraline by reductive amination of a racemic 4-(3,4-dichlorophenyl)-3,4-dihydro-1(2H)naphthalenone)

L2 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:412910 CAPLUS

DN 140:406641

TI Process for the preparation of a polymorphic form of sertraline hydrochloride

IN Nadkarni, Sunil Sadanand

PA India

SO PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004041773	A1	20040521	WO 2003-IB4998	20031103
	WO 2004041773	B1	20040624		

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

IN 2002CA00628 A 20040508 IN 2002-CA628 20021107
AU 2003274608 A1 20040607 AU 2003-274608 20031103
EP 1558561 A1 20050803 EP 2003-758583 20031103

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

BR 2003016032 A 20050927 BR 2003-16032 20031103
US 2006167113 A1 20060727 US 2005-534358 20050509

PRAI IN 2002-CA628 A 20021107
WO 2003-IB4998 W 20031103

AB A process for the preparation of a polymorphic form of sertraline salts (e.g., sertraline hydrochloride) is described by dissolving or suspending sertraline mandelate in a solvent, reducing the pH of the solution or the suspension, and isolating the polymorphic salt of sertraline.

IT 7647-01-0, Hydrogen chloride, reactions 254731-40-3, Sertraline mandelate

RL: RCT (Reactant); RACT (Reactant or reagent)
(in a process for the preparation of a polymorphic form of sertraline hydrochloride)

L2 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:340669 CAPLUS
DN 141:56052

TI A New and Simplified Process for Preparing N-[4-(3,4-Dichlorophenyl)-3,4-dihydro-1(2H)-naphthalenylidene]methanamine and a Telescoped Process for the Synthesis of (1S-cis)-4-(3,4-Dichlorophenol)-1,2,3,4-tetrahydro-N-methyl-1-naphthalenamine Mandelate: Key Intermediates in the Synthesis of Sertraline Hydrochloride

AU Taber, Geraldine P.; Pfisterer, David M.; Colberg, Juan C.
CS Pfizer Global Research and Development, Groton, CT, 06340, USA
SO Organic Process Research & Development (2004), 8(3), 385-388
CODEN: OPRDFK; ISSN: 1083-6160

PB American Chemical Society
DT Journal
LA English
OS CASREACT 141:56052

AB N-[4-(3,4-Dichlorophenyl)-3,4-dihydro-1(2H)-naphthalenylidene]methanamine, sertraline imine (I), is an intermediate for the synthesis of Zoloft, sertraline hydrochloride. A cleaner, simpler, and more efficient alternative to the Schiff base-mediated formation of sertraline imine was developed and is presented. The condensation reaction between 4-(3,4-dichlorophenyl)-3,4-dihydro-1(2H)-naphthalone, sertraline tetralone and monomethylamine was carried out in ethanol, without the need for classical dehydrating agent, such as TiCl₄, or more novel approaches, such as mol. sieves, both of which produce hazardous byproducts and solid wastes. The low solubility of the imine I in this type of solvent is exploited, such that the reaction equilibrium favorably enhances the imine formation. Furthermore, an improved and highly selective catalytic reduction of I with Pd/CaCO₃ catalyst in ethanol as the reaction solvent, followed by the resolution of the racemic cis isomer with D-(-)-mandelic acid results in a more efficient telescoped com. process to (1S-cis)-4-(3,4-dichlorophenol)-1,2,3,4-tetrahydro-N-methyl-1-naphthalen-amine mandelate,

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sertraline mandelate. This new process was implemented com. and eliminates the use of hazardous material such as TiCl_4 , significantly reduces undesirable byproducts, reduces the number of intermediate isolations, and improves the overall process yield and productivity on industrial scale.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 79560-20-6P, N-[4-(3,4-Dichlorophenyl)-3,4-dihydro-1(2H)-naphthalenylidene]methanamine 254731-40-3P, (1S-cis)-4-(3,4-Dichlorophenol)-1,2,3,4-tetrahydro-N-methyl-1-naphthalenamine mandelate
RL: IMF (Industrial manufacture); PREP (Preparation)
(simplified process for preparation sertraline imine and telescoped process for preparation of sertraline mandelate as key intermediates in synthesis of sertraline hydrochloride)

L2 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:101117 CAPLUS

DN 140:163588

TI Neutralization process for the preparation crystalline sertraline free base from sertraline salts

IN Rao, Dharmaraj Ramachandra; Kankan, Rajendra Narayanrao; Narayan, Bhanu M.

PA Cipla Limited, India; Wain, Christopher Paul

SO PCT Int. Appl., 13 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004011413	A1	20040205	WO 2003-GB3161	20030722
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003254475	A1	20040216	AU 2003-254475	20030722
IN 2005MN00045	A	20051111	IN 2005-MN45	20050117
PRAI GB 2002-17488	A	20020729		
GB 2003-11718	A	20030521		
WO 2003-GB3161	W	20030722		

AB Crystalline sertraline free base is made by treating a sertraline salt (e.g., sertraline hydrochloride) with a base (e.g., aqueous NaOH) and recovering the sertraline base in crystalline form which may be used in a variety of pharmaceutical dosage form.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 79559-97-0, Sertraline hydrochloride 254731-40-3, Sertraline mandelate

RL: RCT (Reactant); RACT (Reactant or reagent)

(neutralization process for the preparation crystalline sertraline free base from sertraline salts)

L2 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:892739 CAPLUS

DN 139:369756

CAS ONLINE PRINTOUT

TI Process for the preparation of polymorphic form II of sertraline hydrochloride, pharmaceutical formulations and pharmaceutical dosage forms containing it
IN Borochovitch, Ronen; Mendelovici, Marioara; Nidam, Tamar; Tenengauzer, Ruth; Hrakovsky, Julia; Aronhime, Judith
PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003093217	A1	20031113	WO 2003-US13438	20030429
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2483569	A1	20031113	CA 2003-2483569	20030429
	AU 2003251290	A1	20031117	AU 2003-251290	20030429
	US 2004030190	A1	20040212	US 2003-426468	20030429
	US 6897340	B2	20050524		
	EP 1499581	A1	20050126	EP 2003-747621	20030429
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2005209342	A1	20050922	US 2005-129015	20050513
PRAI	US 2002-376787P	P	20020429		
	US 2003-426468	A1	20030429		
	WO 2003-US13438	W	20030429		

AB Processes for preparation of crystalline sertraline hydrochloride form II substantially free of other polymorphic forms of sertraline hydrochloride, preferably on an industrial scale, are presented along with X-ray diffraction patterns of the title crystal polymorph.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 254731-40-3, Sertraline mandelate
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for preparation of polymorphic form II of sertraline hydrochloride and pharmaceutical dosage forms containing it)

L2 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:585540 CAPLUS

DN 139:138740

TI Crystallization and hydrogen chloride-neutralization methods for the preparation of sertraline hydrochloride crystal polymorphs

IN Schwartz, Eduard; Nidam, Tamar; Liberman, Anita; Mendelovici, Marioara; Aronhime, Judith; Singer, Claude; Valdman, Evgeni

PA Teva Pharmaceutical Industries Ltd., Israel

SO U.S., 25 pp., Cont.-in-part of U.S. 6,500,987.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CAS ONLINE PRINTOUT

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PI  US 6600073      B1      20030729      US 2000-586842      20000605
    US 6500987      B1      20021231      US 1999-448985      19991124
    US 2001041815    A1      20011115      US 2000-746320      20001221
    US 6452054      B2      20020917
    CA 2469108      A1      20030626      CA 2001-2469108      20011213
    WO 2003051818    A1      20030626      WO 2001-US47546      20011213
      W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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          GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
          LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
          PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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      RW:  GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
          KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
          GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
          GN, GQ, GW, ML, MR, NE, SN, TD, TG
    AU 2002228933    A1      20030630      AU 2002-228933      20011213
    EP 1463711      A1      20041006      EP 2001-990059      20011213
      R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
          IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
    CN 1589256      A      20050302      CN 2001-823948      20011213
    HU 200402622    A2      20050329      HU 2004-2622      20011213
    JP 2005511780    T      20050428      JP 2003-552706      20011213
    CN 1891681      A      20070110      CN 2006-10082414      20011213
    US 2003055112    A1      20030320      US 2002-218863      20020813
    ZA 2004004332    A      20050602      ZA 2004-4332      20040602
    IN 2004DN01522    A      20070209      IN 2004-DN1522      20040602
    US 2004220280    A1      20041104      US 2004-861274      20040604
    US 7022881      B2      20060404
    NO 2004002932    A      20040712      NO 2004-2932      20040712
    US 2006241189    A1      20061026      US 2006-415830      20060501
PRAI US 1999-448985    A2      19991124
    US 1998-110113P    P      19981127
    US 1999-125172P    P      19990319
    US 1999-133117P    P      19990507
    US 1999-147888P    P      19990809
    US 1999-171341P    P      19991221
    US 2000-187336P    P      20000306
    US 2000-187910P    P      20000308
    US 2000-190603P    P      20000320
    CN 2001-823948    A3      20011213
    WO 2001-US47546    W      20011213
    US 2002-218863    B1      20020813
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AB Crystallization and neutralization methods for the preparation of sertraline hydrochloride crystal polymorph forms III, V, VI, VII, VIII, IX, and X are presented. According to the present invention, sertraline hydrochloride Form III may be produced by heating sertraline hydrochloride Forms V and VI. Sertraline hydrochloride Forms V and VI may be produced from either sertraline hydrochloride or sertraline base by crystallization. Sertraline hydrochloride Form VII may be produced by suspending sertraline hydrochloride polymorph V in water, followed by filtration. Sertraline hydrochloride Forms VIII and IX may be produced by suspending sertraline base in water followed by acidification and filtration.

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 254731-40-3, Sertraline mandelate

RL: RCT (Reactant); RACT (Reactant or reagent)

(crystallization and hydrogen chloride-neutralization methods for the preparation of
sertraline hydrochloride crystal polymorphs using)

CAS ONLINE PRINTOUT

L2 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2002:960678 CAPLUS
 DN 138:29222
 TI Preparation of sertraline hydrochloride Form II
 IN Schwartz, Eduard; Nidam, Tamar; Liberman, Anita; Mendelovici, Marioara;
 Aronhime, Judith
 PA Teva Pharmaceutical Industries Ltd., Israel
 SO U.S., 9 pp., Cont.-in-part of U.S. Ser. No. 448,985.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6495721	B1	20021217	US 2000-575634	20000522
	US 6500987	B1	20021231	US 1999-448985	19991124
	EP 1630156	A1	20060301	EP 2005-24394	19991124
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	EP 1632472	A1	20060308	EP 2005-24395	19991124
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	EP 1632473	A1	20060308	EP 2005-24396	19991124
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	EP 1632474	A1	20060308	EP 2005-24400	19991124
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	EP 1632475	A1	20060308	EP 2005-24401	19991124
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	EP 1634865	A1	20060315	EP 2005-24399	19991124
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	EP 1637515	A1	20060322	EP 2005-24397	19991124
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	EP 1637516	A1	20060322	EP 2005-24398	19991124
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	US 2001041815	A1	20011115	US 2000-746320	20001221
	US 6452054	B2	20020917		
	CA 2469108	A1	20030626	CA 2001-2469108	20011213
	AU 2002228933	A1	20030630	AU 2002-228933	20011213
	EP 1463711	A1	20041006	EP 2001-990059	20011213
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	CN 1589256	A	20050302	CN 2001-823948	20011213
	HU 200402622	A2	20050329	HU 2004-2622	20011213
	JP 2005511780	T	20050428	JP 2003-552706	20011213
	CN 1891681	A	20070110	CN 2006-10082414	20011213
	US 2002183555	A1	20021205	US 2002-198546	20020718
	US 2003055112	A1	20030320	US 2002-218863	20020813
	ZA 2004004332	A	20050602	ZA 2004-4332	20040602
	IN 2004DN01522	A	20070209	IN 2004-DN1522	20040602
	US 2004220279	A1	20041104	US 2004-861271	20040604
	US 7196222	B2	20070327		
	US 2004220280	A1	20041104	US 2004-861274	20040604
	US 7022881	B2	20060404		
	NO 2004002932	A	20040712	NO 2004-2932	20040712

CAS ONLINE PRINTOUT

	US 2006241189	A1	20061026	US 2006-415830	20060501
	US 2007038005	A1	20070215	US 2006-580589	20061012
PRAI	US 1999-147888P	P	19990809		
	US 1999-448985	A2	19991124		
	US 2000-182159P	P	20000214		
	US 1998-110113P	P	19981127		
	US 1999-125172P	P	19990319		
	US 1999-133117P	P	19990507		
	EP 1999-959091	A3	19991124		
	US 1999-171341P	P	19991221		
	US 2000-187336P	P	20000306		
	US 2000-187910P	P	20000308		
	US 2000-190603P	P	20000320		
	US 2000-575634	A3	20000522		
	CN 2001-823948	A3	20011213		
	WO 2001-US47546	W	20011213		
	US 2002-198546	A1	20020718		
	US 2002-218863	B1	20020813		
	US 2004-861271	A1	20040604		

AB Sertraline hydrochloride form II may be produced directly from sertraline base or sertraline mandelate. It may also be produced from sertraline hydrochloride solvate and hydrate forms, and crystallized from new solvent systems. Pharmaceutical compounds containing sertraline hydrochloride Form II and methods of treatment using such pharmaceutical compounds are also disclosed.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 79617-96-2, Sertraline 254731-40-3, Sertraline mandelate
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of sertraline hydrochloride crystal form II)

L2 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2001:769394 CAPLUS

DN 135:293946

TI Sertraline and its salts for treating mammal premature ejaculation

IN Xu, Jing

PA Harbin Jiandi Medicine Science and Technology Development Co., Ltd., Peop.
Rep. China

SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 14 pp.
CODEN: CNXXEV

DT Patent

LA Chinese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	CN 1285345	A	20010228	CN 2000-124758	20000915
	CN 1091096	B	20020918		
PRAI	CN 2000-124758		20000915		

AB Sertraline or its salt is used for treating mammal premature ejaculation. The sertraline salt is citrate, HCl, mandelate, or sulfate. The sertraline salt is prepared from mandelate by dissolving in Et acetate-water (1:1), regulating with 50% NaOH to pH 9-10, standing for 20 min, separating, extracting aqueous phase with Et acetate thrice, washing with water, neutralizing

with citric acid, HCl, or H₂SO₄, crystallizing, and drying in vacuum. A tablet or capsule is prepared from sertraline citrate 54.24, Na₂HPO₄ 10, microcryst. cellulose 25, hydroxypropyl cellulose 3, CMC-Na 4, low substituted hydroxypropyl cellulose 2.76, and Mg stearate 1%.

IT 79559-97-0, Sertraline hydrochloride 254731-40-3, Sertraline mandelate 365431-81-8 365431-84-1
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(sertraline and its salts for treating mammal premature ejaculation)

L2 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2001:167954 CAPLUS

DN 134:207602

TI A reductive amination process for the preparation of cis-(1S,4S)-N-methyl-4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-1-naphthaleneamine hydrochloride from 4-(3,4-dichlorophenyl)-3,4-dihydro-1-(2H)-naphthalenone and methylamine and hydrogen

IN Vyas, Sharad Kumar

PA India

SO PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001016089	A1	20010308	WO 2000-IB1182	20000828
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	IN 185109	A1	20001118	IN 1999-CA748	19990901
PRAI	IN 1999-CA748	A	19990901		

OS CASREACT 134:207602

AB There is disclosed a process for the preparation of cis-(1S,4S)-N-methyl-4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-1-naphthaleneamin hydrochloride (i.e., sertraline hydrochloride) and the intermediate cis-N-methyl-4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-1-naphthaleneamine hydrochloride, which comprises the reductive amination of 4-(3,4-dichlorophenyl)-3,4-dihydro-1-(2H)-naphthalenone with methylamine and hydrogen in the presence of a catalyst such as Raney Nickel to produce the intermediate amine, treating that amine with hydrogen chloride to produce the corresponding cis- and trans-amine hydrochloride salts, isolating and purifying the amine hydrochloride mixture to obtain the intermediate cis-amine hydrochloride, and converting the cis-amine hydrochloride into cis-(1S,4S)-N-methyl-4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-1-naphthaleneamin hydrochloride.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 140631-53-4P 254731-40-3P, Sertraline mandelate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(reductive amination process for the preparation of cis-(1S,4S)-N-methyl-4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-1-naphthaleneamine hydrochloride from 4-(3,4-dichlorophenyl)-3,4-dihydro-1-(2H)-naphthalenone and methylamine and hydrogen using)

L2 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2000:876764 CAPLUS

DN 134:41980

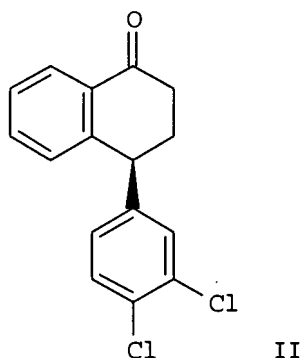
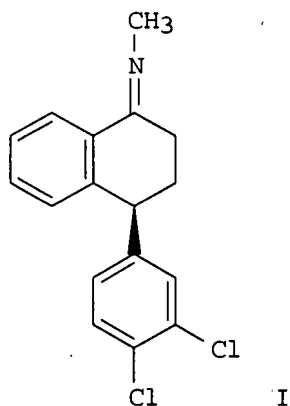
TI Process for preparing the (+) enantiomer of N-[4-(3,4-dichlorophenyl)-3,4-dihydro-1(2H)-naphthalenylidene]methanamine from the (+) enantiomer of 4-(3,4-dichlorophenyl)-3,4-dihydro-1(2H)-naphthalenonetetralone

IN Quallich, George Joseph

CAS ONLINE PRINTOUT

PA Pfizer Products Inc., USA
SO Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1059287	A1	20001213	EP 2000-304724	20000605
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 6593496	B1	20030715	US 2000-584009	20000530
	IN 186949	A1	20011215	IN 2000-MU503	20000531
	JP 2000351758	A	20001219	JP 2000-167473	20000605
	JP 3529703	B2	20040524		
	CA 2310799	A1	20001209	CA 2000-2310799	20000607
	CA 2310799	C	20040504		
	TR 200001657	A2	20010122	TR 2000-1657	20000607
	AU 767319	B2	20031106	AU 2000-39345	20000607
	CN 1277188	A	20001220	CN 2000-118079	20000608
	RU 2181358	C2	20020420	RU 2000-114587	20000608
	HU 200002229	A2	20020429	HU 2000-2229	20000608
	BR 2000002606	A	20010102	BR 2000-2606	20000609
PRAI	US 1999-138340P	P	19990609		
OS	CASREACT 134:41980				
GI					



AB This invention relates to a novel improved process for preparing the (+) enantiomer of N-[4-(3,4-dichlorophenyl)-3,4-dihydro-1(2H)-naphthalenylidene]methanamine (I), an intermediate in the manufacture of sertraline, by reacting the (+) enantiomer of 4-(3,4-dichlorophenyl)-3,4-dihydro-1(2H)-naphthalenone (II) with monomethylamine and titanium chloride or mol. sieves. Subsequent I hydrogenation and salification-resolution leads to the preparation of a sertraline III salt.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAS ONLINE PRINTOUT

IT 79559-97-0P, Sertraline hydrochloride 254731-40-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(process for preparing the (+) enantiomer of N-[4-(3,4-dichlorophenyl)-3,4-dihydro-1(2H)-naphthalenylidene]methanamine from the (+) enantiomer of 4-(3,4-dichlorophenyl)-3,4-dihydro-1(2H)-naphthalenonetetralone)

L2 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:384116 CAPLUS
DN 133:22449
TI Sertraline hydrochloride polymorphs
IN Schwartz, Eduard; Nidam, Tamar; Liberman, Anita; Mendelovici, Marioara; Aronheim, Jehudit; Singer, Claude; Valdman, Evgeni
PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals Usa, Inc.
SO PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000032551	A1	20000608	WO 1999-US27881	19991124
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 200016336	A	20000619	AU 2000-16336	19991124
	EP 1133459	A1	20010919	EP 1999-959091	19991124
	EP 1133459	B1	20060111		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
	JP 2002531427	T	20020924	JP 2000-585193	19991124
	AT 315544	T	20060215	AT 1999-959091	19991124
	EP 1630156	A1	20060301	EP 2005-24394	19991124
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	EP 1632472	A1	20060308	EP 2005-24395	19991124
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	EP 1632473	A1	20060308	EP 2005-24396	19991124
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	EP 1632474	A1	20060308	EP 2005-24400	19991124
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	EP 1632475	A1	20060308	EP 2005-24401	19991124
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	EP 1634865	A1	20060315	EP 2005-24399	19991124
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	EP 1637515	A1	20060322	EP 2005-24397	19991124
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	EP 1637516	A1	20060322	EP 2005-24398	19991124
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				

CAS ONLINE PRINTOUT

ES 2255320	T3	20060616	ES 1999-959091	19991124
CA 2469108	A1	20030626	CA 2001-2469108	20011213
AU 2002228933	A1	20030630	AU 2002-228933	20011213
EP 1463711	A1	20041006	EP 2001-990059	20011213

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

CN 1589256	A	20050302	CN 2001-823948	20011213
JP 2005511780	T	20050428	JP 2003-552706	20011213
CN 1891681	A	20070110	CN 2006-10082414	20011213
US 2003055112	A1	20030320	US 2002-218863	20020813
ZA 2004004332	A	20050602	ZA 2004-4332	20040602
IN 2004DN01522	A	20070209	IN 2004-DN1522	20040602
US 2004220280	A1	20041104	US 2004-861274	20040604
US 7022881	B2	20060404		
NO 2004002932	A	20040712	NO 2004-2932	20040712
US 2006241189	A1	20061026	US 2006-415830	20060501

PRAI US 1998-110113P P 19981127
US 1999-125172P P 19990319
US 1999-133117P P 19990507
US 1999-147888P P 19990809
EP 1999-959091 A3 19991124
US 1999-448985 A1 19991124
WO 1999-US27881 W 19991124
CN 2001-823948 A3 20011213
WO 2001-US47546 W 20011213
US 2002-218863 B1 20020813

AB The present invention is directed to forms II, III, V, VI, VII, VIII, IX and X of sertraline-HCl and novel methods for their preparation According to the present invention, sertraline-HCl polymorph II may be produced by slurrying sertraline-HCl polymorph VI in aprotic organic solvent. Sertraline-HCl polymorphic form III may be produced by heating sertraline-HCl polymorphs V and VI. Sertraline-HCl forms V and VI may be produced from either sertraline-HCl or sertraline base by crystallization Sertraline-HCl form VII may be produced by suspending sertraline chloride polymorph V in water, followed by filtration. Sertraline-HCl forms VIII and IX may be produced by suspending sertraline base in water followed by acidification and filtration. Sertraline-HCl form X may be produced by suspending sertraline-HCl in benzyl alc. with heating, followed by filtration. Sertraline mandelate was mixed with EtOAc and aqueous sodium hydroxide was added until the sertraline mandelate was completely neutralized. The solvent was removed under reduced pressure resulting in sertraline base as an oil. The sertraline base was dissolved in methanol and acidified with HCl until pH 1.5 was reached. The slurry was allowed to cool to room temperature and stirred for about 2 h. The solid was separated by

filtration to give sertraline-HCl methanolate-Form VI. Drying the product overnight gave sertraline-HCl form V.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 254731-40-3, Sertraline mandelate
RL: RCT (Reactant); RACT (Reactant or reagent)
(sertraline hydrochloride polymorphs)

L2 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2000:59120 CAPLUS

DN 132:93108

TI Preparation of sertraline hydrochloride methanolate and ethanolate as antidepressants

IN Miyamoto, Hideto; Sugi, Kiyoshi; Itaya, Nobushige

PA Sumika Fine Chemicals Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 9 pp.

CAS ONLINE PRINTOUT

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	JP 2000026379	A	20000125	JP 1998-193035	19980708
PRAI	JP 1998-193035		19980708		
AB	Sertraline (I) hydrochloride methanolate showing x-ray diffraction peaks at $2\theta = 12.3, 17.8, 22.0, 23.4$, and 24.8° , and I.HCl ethanolate showing the peaks at $2\theta = 12.1, 17.5, 21.9, 22.9$, and 24.2° are prepared by treatment of I with HCl (gas) in MeOH or EtOH, resp. The solvates, useful as antidepressants (no data), show high bulk d. and are filtered out in a short time unlike I.HCl.				
IT	254731-40-3, Sertraline mandelate				
	RL: RCT (Reactant); RACT (Reactant or reagent)				
	(preparation of sertraline hydrochloride methanolate or ethanolate as antidepressants)				

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